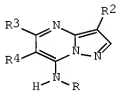
L10 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2008:251311 CAPLUS Full-text
DN 148:308364
TI Preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors
IN Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Doll, Ronald J.; Girijavallabhan, Viyyoor M.; Mallams, Alan; Alvarez, Carmen S.; Keertikar, Kartik M.; Rivera, Jocelyn; Chan, Tin-Yau; Madison, Vincent S.; Fischmann, Thierry O.; Dillard, Lawrence W.; Tran, Vinh D.; He, Zhenmin; James, Ray Anthony; Park, Haengsoon; Paradkar, Vidyadhar M.; Hobbs, Douglas Walsh; Kirschmeier, Paul; Bannerji, Rajat
PA Shering Corporation and Pharmacopeia, Inc., USA
SO U.S. Pat. Appl. Publ., 387pp., Cont.-in-part of U.S. Ser. No. 396,079.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 8

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20080050384	A1	20080228	US 2007-788847	20070420
	CN 1880317	A	20061220	CN 2006-10101322	20030903
	US 7161003	B2	20070109	US 2003-654546	20030903
	US 20070037824	A1	20070215		
	US 20040209878	A1	20041021	US 2004-776988	20040211
	US 7119200	B2	20061010		
	ZA 2005001855	A	20060329	ZA 2005-1855	20060117
	US 20070054925	A1	20070308	US 2006-396079	20060331
PRAI	US 2002-408027P	P	20020904		
	US 2002-421959P	P	20021029		
	US 2003-654546	A2	20030903		
	US 2004-776988	A3	20040211		
	US 2006-396079	B2	20060331		
	CN 2003-824997	A3	20030903		

OS MARPAT 148:308364
GI



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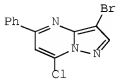

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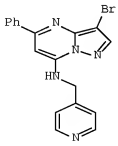


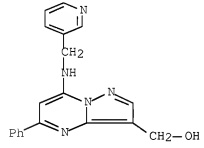
III

AB The title compds. [I; R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020 μM and 0.029

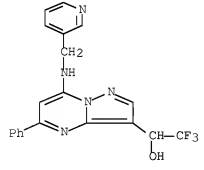
μM against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical compns. comprising the compound I alone or in combination with other therapeutic agents are claimed.

IT 672315-22-9P 672319-26-5P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

RN 672315-22-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-methanol, 5-phenyl-7-[(3-pyridinylmethyl)amino]- (CA INDEX NAME)



RN 672319-26-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-methanol, 5-phenyl-7-[(3-pyridinylmethyl)amino]-α-(trifluoromethyl)- (CA INDEX NAME)



IT 672315-10-5P 672315-11-6P 672318-94-4P
672319-15-2P 672319-17-4P 672319-18-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

RN 672315-10-5 CAPLUS
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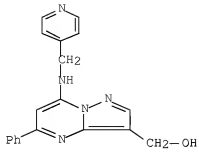
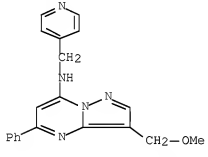
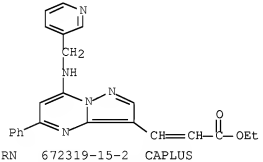


RN 672315-11-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(methoxymethyl)-5-phenyl-N-(4-pyridinylmethyl)- (CA INDEX NAME)



RN 672318-94-4 CAPLUS
CN 2-Propenoic acid, 3-[5-phenyl-7-[(3-pyridinylmethyl)amino]pyrazolo[1,5-a]pyrimidin-3-yl]-, ethyl ester (CA INDEX NAME)



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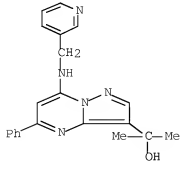

RN 672319-17-4 CAPLUS

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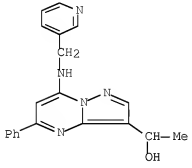
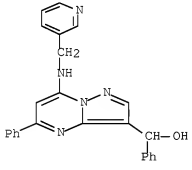


RN 672319-18-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-3-methanol, α,5-diphenyl-7-[(3-pyridinylmethyl)amino]- (CA INDEX NAME)



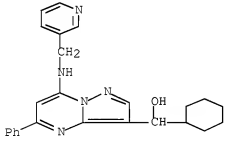
IT 672325-80-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

RN 672325-80-3 CAPLUS

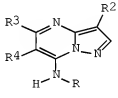
CN Pyrazolo[1,5-a]pyrimidine-3-methanol, α-cyclohexyl-5-phenyl-7-[(3-pyridinylmethyl)amino]- (CA INDEX NAME)



L10 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:1395785 CAPLUS Full-text
DN 148:55084
TI Preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors
IN Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Labroli, Marc; Keertikar, Kartik M.
PA Schering Corporation, USA
SO U.S. Pat. Appl. Publ., 497pp., Cont.-in-part of U.S. Ser. No. 710,644.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 8

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20070281951	A1	20071206	US 2007-788856	20070420
	CN 1880317	A	20061220	CN 2006-10101322	20030903
	US 7161003	B2	20070109	US 2003-654546	20030903
	US 20070037824	A1	20070215		
	US 20040209878	A1	20041021	US 2004-776988	20040211
	US 7119200	B2	20061010		
	US 20060128725	A1	20060615	US 2005-245401	20051006
	US 7196078	B2	20070327		
	ZA 2005001855	A	20060329	ZA 2005-1855	20060117
	US 20070225270	A1	20070927	US 2007-710644	20070223
PRAI	US 2002-408027P	P	20020904		
	US 2002-421959P	P	20021029		
	US 2003-654546	A2	20030903		
	US 2004-776988	A2	20040211		
	US 2005-245401	A3	20051006		
	US 2007-710644	A2	20070223		
	CN 2003-824997	A3	20030903		

OS MARPAT 148:55084
GI



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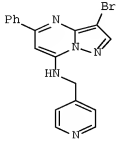

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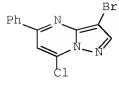
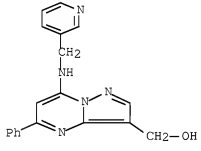


II

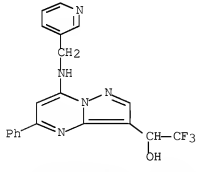
AB The title compds. [I; R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020 μM and 0.029 μM against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I, alone or in combination with other therapeutic agent, is claimed.

IT 672315-22-9P 672319-26-5P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

RN 672315-22-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-methanol, 5-phenyl-7-[(3-pyridinylmethyl)amino]- (CA INDEX NAME)



RN 672319-26-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-methanol, 5-phenyl-7-[(3-pyridinylmethyl)amino]-α-(trifluoromethyl)- (CA INDEX NAME)



IT 672315-10-5P 672315-11-6P 672318-94-4P 672319-15-2P 672319-17-4P 672319-18-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

RN 672315-10-5 CAPLUS
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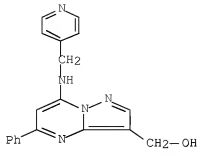

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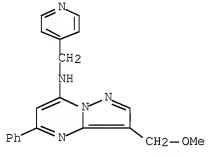
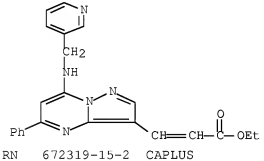
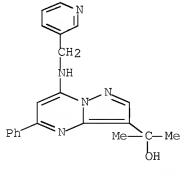


RN 672318-94-4 CAPLUS
CN 2-Propenoic acid, 3-[5-phenyl-7-[(3-pyridinylmethyl)amino]pyrazolo[1,5-a]pyrimidin-3-yl]-, ethyl ester (CA INDEX NAME)



RN 672319-15-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-methanol, α,α-dimethyl-5-phenyl-7-[(3-pyridinylmethyl)amino]- (CA INDEX NAME)



RN 672319-17-4 CAPLUS
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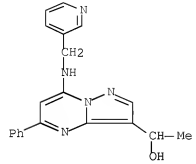
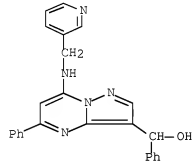


RN 672319-18-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-3-methanol, α,5-diphenyl-7-[(3-pyridinylmethyl)amino]- (CA INDEX NAME)



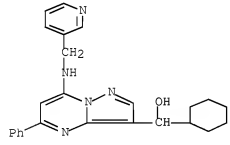
IT 672325-80-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

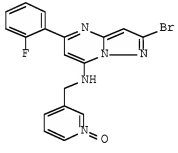
(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

RN 672325-80-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-3-methanol, α-cyclohexyl-5-phenyl-7-[(3-pyridinylmethyl)amino]- (CA INDEX NAME)



L10 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:1170528 CAPLUS Full-text
DN 148:54982
TI Pyrazolo[1,5-a]pyrimidines as orally available inhibitors of cyclin-dependent kinase 2
AU Paruch, Kamil; Dwyer, Michael P.; Alvarez, Carmen; Brown, Courtney; Chan, Tin-Yau; Doll, Ronald J.; Keertikar, Kerry; Knutson, Chad; McKittrick, Brian; Rivera, Jocelyn; Rossman, Randall; Tucker, Greg; Fischmann, Thierry O.; Hruza, Alan; Madison, Vincent; Nomeir, Amin A.; Wang, Yaolin; Lees, Emma; Parry, David; Sgambellone, Nicole; Seghezzi, Wolfgang; Schultz, Lesley; Shanahan, Fran; Wiswell, Derek; Xu, Xiaoying; Zhou, Quiao; James, Ray A.; Paradkar, Vidyadhar M.; Park, Haengsoon; Rokosz, Laura R.; Stauffer, Tara M.; Guzi, Timothy J.
CS Schering-Plough Research Institute, Kenilworth, NJ, 07033, USA
SO Bioorganic & Medicinal Chemistry Letters (2007), 17(22), 6220-6223
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Ltd.
DT Journal
LA English
GI



I

AB Properly substituted pyrazolo[1,5-a]pyrimidines are potent and selective CDK2 inhibitors. I is orally available and showed efficacy in a mouse A2780 xenograft model.

IT 672315-22-9P 672319-26-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(pyrazolo[1,5-a]pyrimidines as orally available inhibitors of cyclin-dependent kinase 2)

RN 672315-22-9 CAPLUS
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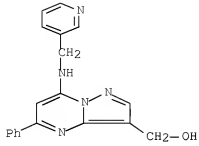
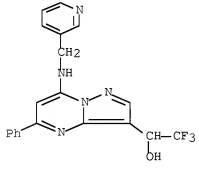


RN 672319-26-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-methanol, 5-phenyl-7-[(3-pyridinylmethyl)amino]-α-(trifluoromethyl)- (CA INDEX NAME)



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:1142459 CAPLUS Full-text
DN 147:448792
TI Preparation of 3-substituted N-(aryl- or heteroaryl)-pyrazolo[1,5-a]pyrimidines as kinase inhibitors
IN Masuya, Keiichi; Vaupel, Andrea; Imbach, Patricia; Furet, Pascal
PA Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
SO PCT Int. Appl., 97pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2007113000	A1	20071011	WO 2007-EP2954	20070402
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRAI GB 2006-6805	A	20060404		

OS MARPAT 147:448792
GI

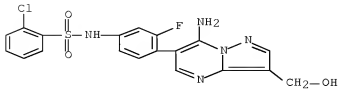
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. I [either each of R1 and R2 = (un)substituted alkyl, cycloalkyl, aryl or heterocyclyl with 3-14 ring atoms and Y = N; or R1, Y and R2 together form (un)substituted heterocyclyl with 3-14 ring atoms and at least one N atom which is bound via a ring N; each of the two X stands for H atom or both together form oxo or thioxo; R3 = H, alkyl; R4 = H or (un)substituted alkyl; R5 = acyl; B1 = N or CR6; B2 = N or CR7; R6, R7 = H, alkyl, halo or alkoxy], useful in the treatment of diseases that respond to modulation of kinase, especially tie-2 kinase, were prepared and formulated. E.g., a multi-step synthesis of II; starting from 3-dimethylamino-2-(4-nitrophenyl)acrylonitrile and Et 5-amino-1H-pyrazole- 4-carboxylate, was given. The invention also relates to new pharmaceutical formulations comprising said compds. I, to their use in the diagnostic or therapeutic treatment of warm-blooded animals, especially humans, to methods of treatment comprising administration of compds. I to a warm-blooded animal, especially a human, and processes for the manufacture of said compds. I.

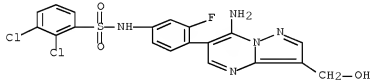
IT 952202-39-0P 952202-41-4P 952202-42-5P
952202-43-6P 952202-44-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-substituted N-(aryl- or heteroaryl)-pyrazolo[1,5-a]pyrimidines as kinase inhibitors)

RN 952202-39-0 CAPLUS
CN Benzenesulfonamide, N-[4-[7-amino-3-(hydroxymethyl)pyrazolo[1,5-

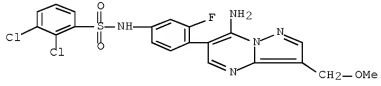
a]pyrimidin-6-yl]-3-fluorophenyl]-2-chloro- (CA INDEX NAME)



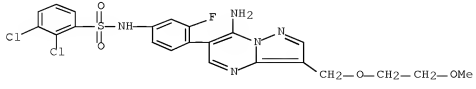
RN 952202-41-4 CAPLUS
CN Benzenesulfonamide, N-[4-[7-amino-3-(hydroxymethyl)pyrazolo[1,5-a]pyrimidin-6-yl]-3-fluorophenyl]-2,3-dichloro- (CA INDEX NAME)



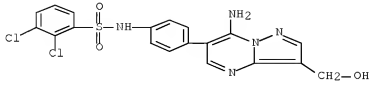
RN 952202-42-5 CAPLUS
CN Benzenesulfonamide, N-[4-[7-amino-3-(methoxymethyl)pyrazolo[1,5-a]pyrimidin-6-yl]-3-fluorophenyl]-2,3-dichloro- (CA INDEX NAME)



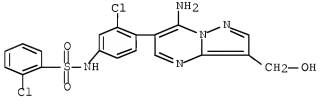
RN 952202-43-6 CAPLUS
CN Benzenesulfonamide, N-[4-[7-amino-3-[(2-methoxyethoxy)methyl]pyrazolo[1,5-a]pyrimidin-6-yl]-3-fluorophenyl]-2,3-dichloro- (CA INDEX NAME)



RN 952202-44-7 CAPLUS
CN Benzenesulfonamide, N-[4-[7-amino-3-(hydroxymethyl)pyrazolo[1,5-a]pyrimidin-6-yl]phenyl]-2,3-dichloro- (CA INDEX NAME)



IT 952202-56-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 3-substituted N-(aryl- or heteroaryl)-pyrazolo[1,5-a]pyrimidines as kinase inhibitors)
RN 952202-56-1 CAPLUS
CN Benzenesulfonamide, N-[4-[7-amino-3-(hydroxymethyl)pyrazolo[1,5-a]pyrimidin-6-yl]-3-chlorophenyl]-2-chloro- (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

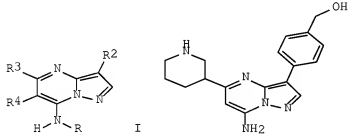
L10 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:409638 CAPLUS Full-text
DN 146:422003
TI Pyrazolo[1,5-a]pyrimidine compounds as protein kinase inhibitors and their preparation, pharmaceutical compositions and their use in the treatment of protein kinase-mediated diseases
IN Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Parry, David A.
PA Schering Corp., USA
SO U.S. Pat. Appl. Publ., 340pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20070082900	A1	20070412	US 2006-542801	20061004
	WO 2007044441	A2	20070419	WO 2006-US38917	20061004
	WO 2007044441	A3	20070726		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRAI US 2005-724158P P 20051006
OS MARPAT 146:422003
GI



AB The invention provides methods for inhibiting protein kinases selected from the group consisting of AKT, CHeckpoint kinase, Aurora kinase, Pim kinases, and tyrosine kinase using pyrazolo[1,5-a]pyrimidine compds. of formula I, and methods of treatment, prevention, inhibition, or amelioration of one or more diseases associated with protein kinases using such compds. Compds. of formula I wherein R is H, alkyl, alkenyl, alkynyl, aralkyl, arylalkenyl, cycloalkyl, cycloalkylalkyl, alkenylalkyl, etc.; R2 is H, alkyl, alkenyl, alkynyl, CF3, heterocyclyl(alkyl), halo, haloalkyl, (hetero)aryl(alkyl), etc.;

R3 is H, halo, NH2 and derivs., OH and derivs., SH and derivs., CONH2 and derivs., alkyl, alkynyl, cycloalkyl, (hetero)aryl, etc.; R4 is H and alkyl; and their pharmaceutically acceptable salts, solvates, esters and prodrugs thereof, are claimed. Example compound II was prepared by a multistep procedure (procedure given). All the invention compds. were evaluated for their protein kinase inhibitory activity.

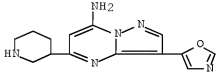
IT 930594-18-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyrazolopyrimidines as protein kinases inhibitors useful in the treatment of protein kinase mediated diseases)

RN 930594-18-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(5-oxazolyl)-5-(3-piperidinyl)- (CA INDEX NAME)



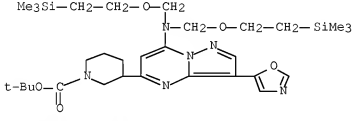
IT 930595-98-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of pyrazolopyrimidines as protein kinases inhibitors useful in the treatment of protein kinase mediated diseases)

RN 930595-98-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 3-[7-[bis[[2-(trimethylsilyl)ethoxy]methyl]amino]-3-(5-oxazolyl)pyrazolo[1,5-a]pyrimidin-5-yl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



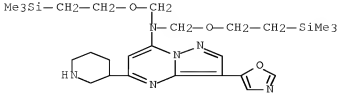
IT 934342-58-2

RL: RCT (Reactant); RACT (Reactant or reagent)

(starting material; preparation of pyrazolopyrimidines as protein kinases inhibitors useful in the treatment of protein kinase mediated diseases)

RN 934342-58-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(5-oxazolyl)-5-(3-piperidinyl)-N,N-bis[[2-(trimethylsilyl)ethoxy]methyl]- (CA INDEX NAME)



L10 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:359151 CAPLUS Full-text
DN 146:380002
TI Preparation of novel pyrazolopyrimidines as cyclin dependent kinase inhibitors
IN Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Labroli, Marc; Keertikar, Kartik M.
PA Schering Corporation, USA
SO U.S. Pat. Appl. Publ., 144pp., Cont.-in-part of U.S. Ser. No. 245,401.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 8

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20070072881	A1	20070329	US 2006-542920	20061004
	CN 1880317	A	20061220	CN 2006-10101322	20030903
	US 7161003	B2	20070109	US 2003-654546	20030903
	US 20070037824	A1	20070215		
	US 20040209878	A1	20041021	US 2004-776988	20040211
	US 7119200	B2	20061010		
	US 20060128725	A1	20060615	US 2005-245401	20051006
	US 7196078	B2	20070327		
	ZA 2005001855	A	20060329	ZA 2005-1855	20060117
	WO 2008045267	A2	20080417	WO 2007-US21274	20071002
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	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRAI	US 2002-408027P	P	20020904		
	US 2002-421959P	P	20021029		
	US 2003-654546	A2	20030903		
	US 2004-776988	A2	20040211		
	US 2005-245401	A2	20051006		
	CN 2003-824997	A3	20030903		
	US 2006-542920	A	20061004		

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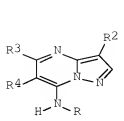
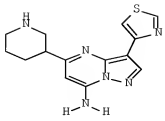
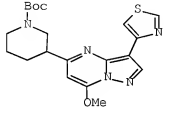


I



II



III

AB Title compds. I [R = H, alkyl, alkenyl, etc.; R2 = H, CF3, alkyl, heterocyclyl, etc.; R3 = H, halo, OH, SH, alkyl, etc.; R4 = H, halo or alkyl], and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of cyclin dependent kinases (CDKs). Thus, e.g., II was prepared by amination of III (preparation given) followed by deprotection. Methods for in vitro kinase assays are described, e.g., II was found to possess an IC50 value of 10 (μM). Further disclosed are pharmaceutical compns. containing one or more of I, methods of preparing pharmaceutical formulations comprising one or more such compds., and methods of treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs using such compds. or pharmaceutical compns.

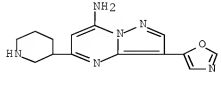
IT 930594-18-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel pyrazolopyrimidines as cyclin dependent kinase inhibitors)

RN 930594-18-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(5-oxazolyl)-5-(3-piperidinyl)- (CA INDEX NAME)



IT 930595-98-5P

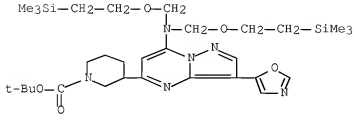
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of novel pyrazolopyrimidines as cyclin dependent kinase

inhibitors)

RN 930595-98-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 3-[7-[bis[[2-(trimethylsilyl)ethoxy]methyl]amino]-3-(5-oxazolyl)pyrazolo[1,5-a]pyrimidin-5-yl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



L10 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:736183 CAPLUS Full-text
DN 145:167279
TI Preparation of bicyclic pyrimidines as dipeptidyl peptidase-iv inhibitors for the treatment or prevention of diabetes
IN Ashton, Wallace T.; Caldwell, Charles G.; Dong, Hong; Gao, Ying-Duo; Scapin, Giovanna; Weber, Ann E.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006078676	A2	20060727	WO 2006-US1660	20060118
	WO 2006078676	A3	20070329		
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	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	AU 2006206573	A1	20060727	AU 2006-206573	20060118
	CA 2593264	A1	20060727	CA 2006-2593264	20060118
	EP 1841770	A2	20071010	EP 2006-718696	20060118
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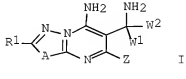
	CN 101107251	A	20080116	CN 2006-80002545	20060118
	IN 2007CN02655	A	20070907	IN 2007-CN2655	20070619
PRAI	US 2005-645220P	P	20050119		
	WO 2006-US1660	W	20060118		

OS MARPAT 145:167279
GI



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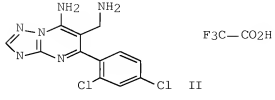

II

AB The present invention is directed to novel substituted bicyclic pyrimidines of general formula I (wherein n = 0-3; A = N or CR2; W1 and W2 are independently H or C1-4 alkyl; or W1 and W2 together with the C to which they are attached form a 3-6-membered carbocyclic ring; Z = substituted Ph or pyridyl; R1 and R2 = H, (un)substituted C1-10alkyl, Ph, (CH2)n-heteroaryl, etc., or together R1 and R2 together with the C to which they are attached, form a 5-6 membered ring) which are inhibitors of the dipeptidyl peptidase-IV enzyme ("DPP-IV inhibitors") and which are useful in the treatment or prevention of diseases in which the dipeptidyl peptidase-IV enzyme is involved, such as diabetes and particularly Type 2 diabetes. The invention is also directed to pharmaceutical compns. comprising these compds. and the use of these compds. and compns. in the prevention or treatment of such diseases in which the dipeptidyl peptidase-IV enzyme is involved. Methods of preparing I are disclosed. For example, II was prepared by reacting 3-amino-1,2,4-triazole and (2,4-dichlorobenzylidene)malononitrile to form a fused pyrimidine intermediate that is subsequently reduced with a borane-THF complex. No biol. data is given for I.

IT 901770-59-0P 901771-19-5P 901771-32-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of bicyclic pyrimidines as dipeptidyl peptidase-IV inhibitors for treatment or prevention of diabetes and other disorders)

RN 901770-59-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-3-methanol, 7-amino-6-(aminomethyl)-5-(2,4-dichlorophenyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

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CRN 901770-58-9
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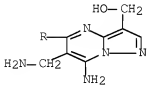
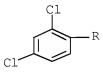
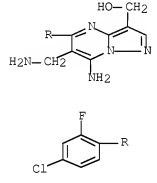




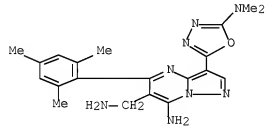
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 901771-19-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-methanol, 7-amino-6-(aminomethyl)-5-(4-chloro-2-fluorophenyl)- (CA INDEX NAME)



RN 901771-32-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-methanamine, 7-amino-3-[5-(dimethylamino)-1,3,4-oxadiazol-2-yl]-5-(2,4,6-trimethylphenyl)- (CA INDEX NAME)



L10 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:579598 CAPLUS Full-text
DN 145:62916
TI Preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors
IN Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Labroli, Marc; Keertikar, Kartik M.
PA Schering Corporation, USA
SO U.S. Pat. Appl. Publ., 1068 pp., Cont.-in-part of U.S. Ser. No. 776,988.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 8

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20060128725	A1	20060615	US 2005-245401	20051006
	US 7196078	B2	20070327		
	CN 1880317	A	20061220	CN 2006-10101322	20030903
	US 7161003	B2	20070109	US 2003-654546	20030903
	US 20070037824	A1	20070215		
	US 20040209878	A1	20041021	US 2004-776988	20040211
	US 7119200	B2	20061010		
	ZA 2005001855	A	20060329	ZA 2005-1855	20060117
	US 20070072881	A1	20070329	US 2006-542920	20061004
	WO 2007044449	A2	20070419	WO 2006-US38939	20061004
	WO 2007044449	A3	20070524		
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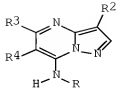
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
	US 20070225270	A1	20070927	US 2007-710644	20070223
	US 20070281951	A1	20071206	US 2007-788856	20070420
PRAI	US 2002-408027P	P	20020904		
	US 2002-421959P	P	20021029		
	US 2003-654546	A2	20030903		
	US 2004-776988	A2	20040211		
	CN 2003-824997	A3	20030903		
	US 2005-245401	A2	20051006		
	US 2007-710644	A2	20070223		

OS MARPAT 145:62916
GI



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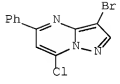

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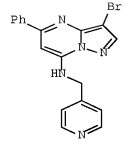
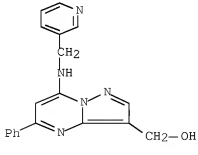


III

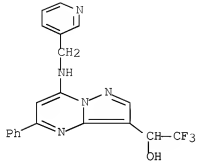
AB The title compds. [I; R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020 μM and 0.029 μM against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I is claimed.

IT 672315-22-9P 672319-26-5P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

RN 672315-22-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-methanol, 5-phenyl-7-[(3-pyridinylmethyl)amino]- (CA INDEX NAME)

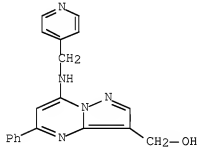


RN 672319-26-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-methanol, 5-phenyl-7-[(3-pyridinylmethyl)amino]-α-(trifluoromethyl)- (CA INDEX NAME)

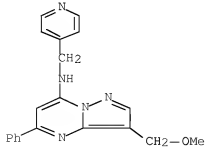


IT 672315-10-5P 672315-11-6P 672318-94-4P
672319-15-2P 672319-17-4P 672319-18-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

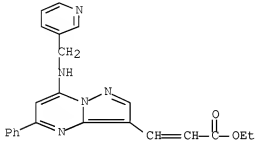
RN 672315-10-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-methanol, 5-phenyl-7-[(4-pyridinylmethyl)amino]- (CA INDEX NAME)



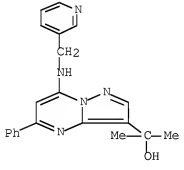
RN 672315-11-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(methoxymethyl)-5-phenyl-N-(4-pyridinylmethyl)- (CA INDEX NAME)



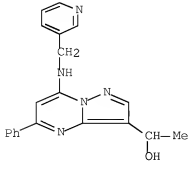
RN 672318-94-4 CAPLUS
CN 2-Propenoic acid, 3-[5-phenyl-7-[(3-pyridinylmethyl)amino]pyrazolo[1,5-a]pyrimidin-3-yl]-, ethyl ester (CA INDEX NAME)



RN 672319-15-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-methanol, α,α-dimethyl-5-phenyl-7-[(3-pyridinylmethyl)amino]- (CA INDEX NAME)

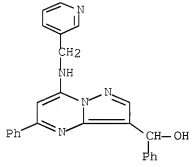


RN 672319-17-4 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-methanol, α-methyl-5-phenyl-7-[(3-pyridinylmethyl)amino]- (CA INDEX NAME)



RN 672319-18-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-3-methanol, α,5-diphenyl-7-[(3-pyridinylmethyl)amino]- (CA INDEX NAME)



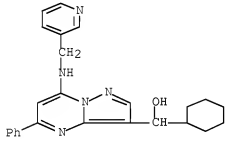
IT 672325-80-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

RN 672325-80-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-3-methanol, α-cyclohexyl-5-phenyl-7-[(3-pyridinylmethyl)amino]- (CA INDEX NAME)



RE.CNT 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:904340 CAPLUS Full-text
DN 143:248405
TI Preparation of pyrazolopyrimidines as agrochemical fungicides
IN Gebauer, Olaf; Gayer, Herbert; Heinemann, Ulrich; Herrmann, Stefan; Hillebrand, Stefan; Elbe, Hans-ludwig; Ebbert, Ronald; Wachendorff-Neumann, Ulrike; Dahmen, Peter; Kuck, Karl-Heinz
PA Germany
SO U.S. Pat. Appl. Publ., 71 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20050187224	A1	20050825	US 2005-63191	20050222
	DE 102004008807	A1	20050908	DE 2004-102004008807	20040220
	CA 2556798	A1	20050909	CA 2005-2556798	20050218
	WO 2005082907	A2	20050909	WO 2005-EP1694	20050218
	WO 2005082907	A3	20060629		
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	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1718652	A2	20061108	EP 2005-715397	20050218
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
	CN 1946293	A	20070411	CN 2005-80012454	20050218
	BR 2005007894	A	20070724	BR 2005-7894	20050218
	JP 2007524691	T	20070830	JP 2006-553545	20050218
	MX 2006PA09311	A	20070301	MX 2006-PA9311	20060816
	IN 2006DN04775	A	20070831	IN 2006-DN4775	20060821
	KR 2007015386	A	20070202	KR 2006-719019	20060915
PRAI	DE 2004-102004008807	A	20040220		
	WO 2005-EP1694	W	20050218		

OS MARPAT 143:248405
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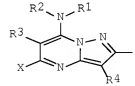

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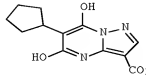


II

AB The invention relates to pyrazolopyrimidines I [R1 = H, OH, optionally substituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, alkoxy, amino; R2 = H, alkyl; NR1R2 may form heterocyclic ring; R3 = halo, optionally substituted aryl, heterocyclyl, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aralkyl, amino, C1-8 alkoxy, C1-8 alkylthio, C6-10 aryloxy, C6-10 arylthio, heterocyclyloxy, etc.; R4 = CONR6R7, CONR7NR72, CONR7OR7, CO2R8, C(S)OR7, C(O)SR7, CS2R7, SR7, SOR7, SO2R7, SO3R7, SONR72, SO2NR72, PO3R72, NR7OR7, B(OR7)2, aromatic, heterocyclyl; X = halo, CN, OH, optionally substituted alkyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl; R5 = H, halo, alkoxy, thioalkyl, sulfinylalkyl, sulfonylalkyl, optionally substituted alkyl, cycloalkyl; R7 = independently H, optionally substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl, arylalkyl; R8 = H, cation, optionally substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aralkyl] and agrochem. active salts thereof, a process for preparing these compds., and to their use for controlling unwanted microorganisms. Thus, cyclocondensation of di-Me cyclopentylmalonate with Me 5-amino-1H-pyrazole-3-carboxylate gave dihydroxypyrazolopyrimidine II. Chlorination of II with POCl3 gave the dichloro derivative, which underwent substitution with (R)-3-methyl-2-butylamine, followed by hydrolysis to give title compound I [R1 = (R)-3-methyl-2-Bu, R2 = R5 = H, R3 = cyclopentyl, R4 = CO2H, X = Cl]. The prepared compds. were tested for fungicidal activity on apples, beans, rice, tomatoes, and wheat.

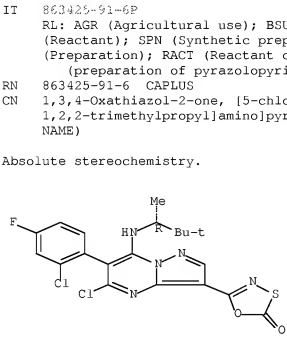
IT 863425-91-6P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of pyrazolopyrimidines as agrochem. fungicides)

RN 863425-91-6 CAPLUS

CN 1,3,4-Oxathiazol-2-one, [5-chloro-6-(2-chloro-4-fluorophenyl)-7-[[(1R)-1,2,2-trimethylpropyl]amino]pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.



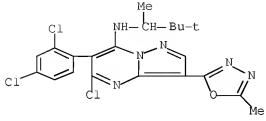
IT 863425-05-2P 863425-95-0P 863426-20-4P
863426-58-8P 863426-72-6P 863427-80-9P
863428-78-8P 863428-91-5P 863428-97-1P
863429-68-9P 863429-93-0P 863430-13-1P
863430-17-5P 863430-26-6P 863430-31-3P
863431-69-0P 863431-70-3P 863431-77-0P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyrimidines as agrochem. fungicides)

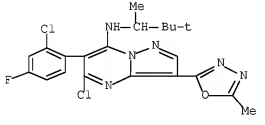
RN 863425-05-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2,4-dichlorophenyl)-3-(5-

methyl-1,3,4-oxadiazol-2-yl)-N-(1,2,2-trimethylpropyl)- (CA INDEX NAME)

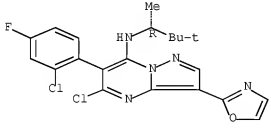


RN 863425-95-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-chloro-4-fluorophenyl)-3-(5-methyl-1,3,4-oxadiazol-2-yl)-N-(1,2,2-trimethylpropyl)- (CA INDEX NAME)

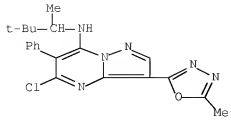


RN 863426-20-4 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-chloro-4-fluorophenyl)-3-(2-oxazolyl)-N-[(1R)-1,2,2-trimethylpropyl]- (CA INDEX NAME)

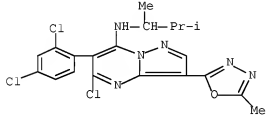
Absolute stereochemistry.



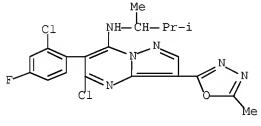
RN 863426-58-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-3-(5-methyl-1,3,4-oxadiazol-2-yl)-6-phenyl-N-(1,2,2-trimethylpropyl)- (CA INDEX NAME)



RN 863426-72-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2,4-dichlorophenyl)-N-(1,2-dimethylpropyl)-3-(5-methyl-1,3,4-oxadiazol-2-yl)- (CA INDEX NAME)

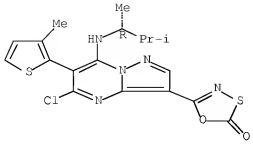


RN 863427-80-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-chloro-4-fluorophenyl)-N-(1,2-dimethylpropyl)-3-(5-methyl-1,3,4-oxadiazol-2-yl)- (CA INDEX NAME)



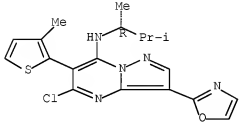
RN 863428-78-8 CAPLUS
CN 1,3,4-Oxathiazol-2-one, [5-chloro-7-[[(1R)-1,2-dimethylpropyl]amino]-6-(3-methyl-2-thienyl)pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

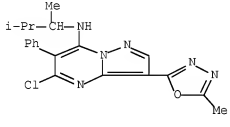


RN 863428-91-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-[(1R)-1,2-dimethylpropyl]-6-(3-methyl-2-thienyl)-3-(2-oxazolyl)- (CA INDEX NAME)

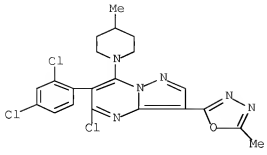
Absolute stereochemistry.



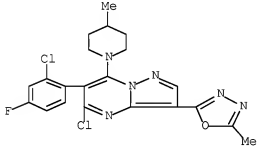
RN 863428-97-1 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-(1,2-dimethylpropyl)-3-(5-methyl-1,3,4-oxadiazol-2-yl)-6-phenyl- (CA INDEX NAME)



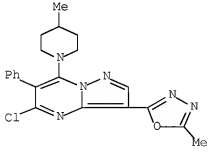
RN 863429-68-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 5-chloro-6-(2,4-dichlorophenyl)-3-(5-methyl-1,3,4-oxadiazol-2-yl)-7-(4-methyl-1-piperidinyl)- (CA INDEX NAME)



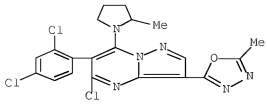
RN 863429-93-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 5-chloro-6-(2-chloro-4-fluorophenyl)-3-(5-methyl-1,3,4-oxadiazol-2-yl)-7-(4-methyl-1-piperidinyl)- (CA INDEX NAME)



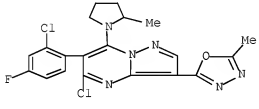
RN 863430-13-1 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 5-chloro-3-(5-methyl-1,3,4-oxadiazol-2-yl)-7-(4-methyl-1-piperidinyl)-6-phenyl- (CA INDEX NAME)



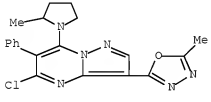
RN 863430-17-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 5-chloro-6-(2,4-dichlorophenyl)-3-(5-methyl-1,3,4-oxadiazol-2-yl)-7-(2-methyl-1-pyrrolidinyl)- (CA INDEX NAME)



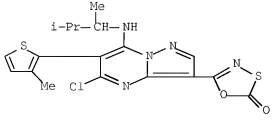
RN 863430-26-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 5-chloro-6-(2-chloro-4-fluorophenyl)-3-(5-methyl-1,3,4-oxadiazol-2-yl)-7-(2-methyl-1-pyrrolidinyl)- (CA INDEX NAME)



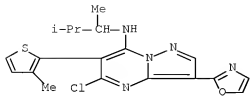
RN 863430-31-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 5-chloro-3-(5-methyl-1,3,4-oxadiazol-2-yl)-7-(2-methyl-1-pyrrolidinyl)-6-phenyl- (CA INDEX NAME)



RN 863431-69-0 CAPLUS
CN 1,3,4-Oxathiazol-2-one, [5-chloro-7-[(1,2-dimethylpropyl)amino]-6-(3-methyl-2-thienyl)pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)

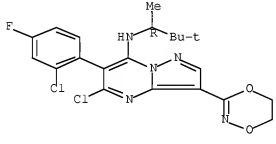


RN 863431-70-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-(1,2-dimethylpropyl)-6-(3-methyl-2-thienyl)-3-(2-oxazolyl)- (CA INDEX NAME)



RN 863431-77-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-chloro-4-fluorophenyl)-3-(5,6-dihydro-1,4,2-dioxazin-3-yl)-N-[(1R)-1,2,2-trimethylpropyl]- (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:540583 CAPLUS Full-text
DN 143:78200
TI Preparation of pyrazolopyrimidines as fungicidal agents
IN Gebauer, Olaf; Gayer, Herbert; Heinemann, Ulrich; Herrmann, Stefan; Hillebrand, Stefan; Elbe, Hans-Ludwig; Ebbert, Ronald; Wachendorff-Neumann, Ulrike; Dahmen, Peter; Kuck, Karl-Heinz
PA Bayer Cropscience Aktiengesellschaft, Germany
SO PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005056559	A1	20050623	WO 2004-EP13989	20041209
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	DE 10357565	A1	20050707	DE 2003-10357565	20031210
	EP 1694680	A1	20060830	EP 2004-801217	20041209
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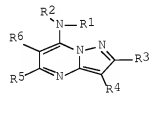
	BR 2004016978	A	20070221	BR 2004-16978	20041209
	JP 2007513909	T	20070531	JP 2006-543471	20041209
	US 20070244111	A1	20071018	US 2007-581945	20070514
PRAI	DE 2003-10357565	A	20031210		
	WO 2004-EP13989	W	20041209		

OS MARPAT 143:78200
GI



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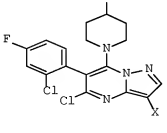


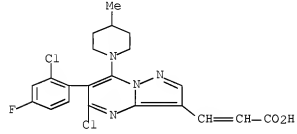
II

AB Title compds. I [R1 = alkyl, alkenyl, alkynyl, etc.; R2 = H, alkyl with provisos; R3 = H, halo, alkyl; R4 = alkenyl, alkynyl; R5 = halo, CN, alkyl, etc.; R6 = alkyl, cycloalkyl, (un)subsituted aryl] were prepared For example, Wittig condensation of triphenylmethylphosphonium bromide and formylpyrazolopyrimidine II (X = CHO) afforded pyrazolopyrimidine II (X = CH=CH2) in 19% yield. In venturia inaequalis, i.e., apple scab, inhibition assays, 6-examples of compds. I exhibited over 80% protection at an application rate of 100 g/ha (sic).
IT 855528-26-6P 855528-27-7P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyrimidines as fungicidal agents)

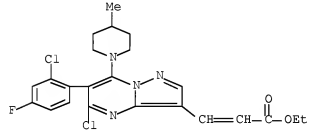
RN 855528-26-6 CAPLUS

CN 2-Propenoic acid, 3-[5-chloro-6-(2-chloro-4-fluorophenyl)-7-(4-methyl-1-piperidinyl)pyrazolo[1,5-a]pyrimidin-3-yl]- (CA INDEX NAME)



RN 855528-27-7 CAPLUS

CN 2-Propenoic acid, 3-[5-chloro-6-(2-chloro-4-fluorophenyl)-7-(4-methyl-1-piperidinyl)pyrazolo[1,5-a]pyrimidin-3-yl]-, ethyl ester (CA INDEX NAME)

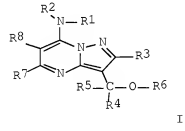


RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:540581 CAPLUS Full-text
DN 143:78198
TI Preparation of pyrazolopyrimidines as antimicrobial agents
IN Gebauer, Olaf; Heinemann, Ulrich; Herrmann, Stefan; Gayer, Herbert; Hillebrand, Stefan; Elbe, Hans-Ludwig; Ebbert, Ronald; Wachendorff-Neumann, Ulrike; Dahmen, Peter; Kuck, Karl-Heinz
PA Bayer Cropscience Aktiengesellschaft, Germany
SO PCT Int. Appl., 133 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005056555	A1	20050623	WO 2004-EP13930	20041208
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	DE 10357566	A1	20050707	DE 2003-10357566	20031210
	EP 1697372	A1	20060906	EP 2004-820058	20041208
	EP 1697372	B1	20071219		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
	BR 2004016901	A	20070116	BR 2004-16901	20041208
	JP 2007516246	T	20070621	JP 2006-543458	20041208
	AT 381567	T	20080115	AT 2004-820058	20041208
	US 20070197540	A1	20070823	US 2006-581776	20060911
PRAI	DE 2003-10357566	A	20031210		
	WO 2004-EP13930	W	20041208		

OS MARPAT 143:78198
GI



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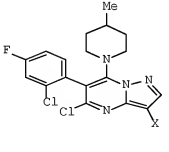


II

AB Title compds. I [R1 = alkyl, alkenyl, alkynyl, etc.; R2 = H, alkyl with provisos; R3 = H, halo, alkyl, etc.; R4 = H, alkyl, cycloalkyl, etc.; R5 = H, alkyl, cycloalkyl, etc.; R6 = H, alkyl, cycloalkyl, etc.; R7 = halo, CN, alkoxy, etc.; R8 = (un)substituted aryl] were prepared For example, sodium borohydride reduction of formylpyrazolopyrimidine II (X = CHO) afforded pyrazolopyrimidine (X = CH2OH) in 64% yield. In botrytis cinerea inhibition assays, 2-examples of compds. I exhibited over 90% protection at an application rate of 500 g/ha (sic).

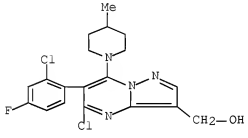
IT 855502-83-9P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of pyrazolopyrimidines as antimicrobial agents)

RN 855502-83-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-3-methanol, 5-chloro-6-(2-chloro-4-fluorophenyl)-7-(4-methyl-1-piperidinyl)- (CA INDEX NAME)



IT 855502-87-3P 855502-91-9P 855502-95-3P
855502-99-7P 855503-03-6P 855503-07-0P
855503-11-6P 855503-15-0P 855503-19-4P
855503-23-0P 855503-27-4P 855503-31-0P
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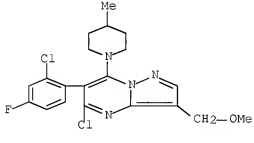
855503-59-2P 855503-63-8P 855503-67-2P
855503-71-8P 855503-75-2P 855503-79-6P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolopyrimidines as antimicrobial agents)

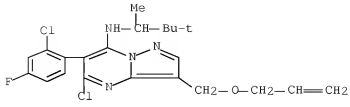
RN 855502-87-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine, 5-chloro-6-(2-chloro-4-fluorophenyl)-3-(methoxymethyl)-7-(4-methyl-1-piperidinyl)- (CA INDEX NAME)

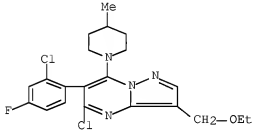


RN 855502-91-9 CAPLUS

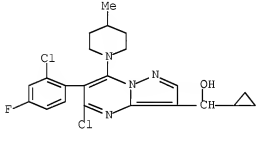
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-chloro-4-fluorophenyl)-3-[(2-propen-1-yloxy)methyl]-N-(1,2,2-trimethylpropyl)- (CA INDEX NAME)



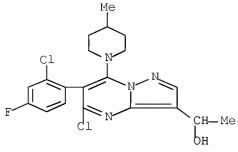
RN 855502-95-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 5-chloro-6-(2-chloro-4-fluorophenyl)-3-(ethoxymethyl)-7-(4-methyl-1-piperidinyl)- (CA INDEX NAME)



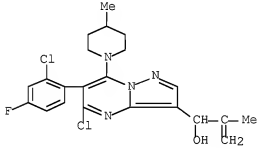
RN 855502-99-7 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-methanol, 5-chloro-6-(2-chloro-4-fluorophenyl)-α-cyclopropyl-7-(4-methyl-1-piperidinyl)- (CA INDEX NAME)



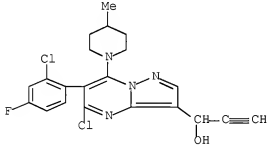
RN 855503-03-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-methanol, 5-chloro-6-(2-chloro-4-fluorophenyl)-α-methyl-7-(4-methyl-1-piperidinyl)- (CA INDEX NAME)



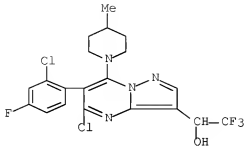
RN 855503-07-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-methanol, 5-chloro-6-(2-chloro-4-fluorophenyl)-α-(1-methylethenyl)-7-(4-methyl-1-piperidinyl)- (CA INDEX NAME)



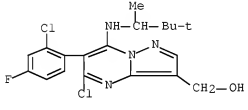
RN 855503-11-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-methanol, 5-chloro-6-(2-chloro-4-fluorophenyl)-α-ethynyl-7-(4-methyl-1-piperidinyl)- (CA INDEX NAME)



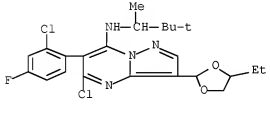
RN 855503-15-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-methanol, 5-chloro-6-(2-chloro-4-fluorophenyl)-7-(4-methyl-1-piperidinyl)-α-(trifluoromethyl)- (CA INDEX NAME)



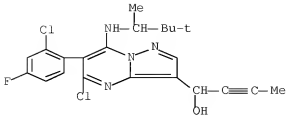
RN 855503-19-4 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-methanol, 5-chloro-6-(2-chloro-4-fluorophenyl)-7-[(1,2,2-trimethylpropyl)amino]- (CA INDEX NAME)



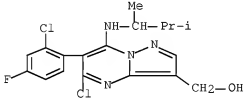
RN 855503-23-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-chloro-4-fluorophenyl)-3-(4-ethyl-1,3-dioxolan-2-yl)-N-(1,2,2-trimethylpropyl)- (CA INDEX NAME)



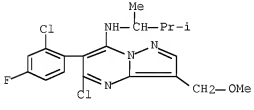
RN 855503-27-4 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-methanol, 5-chloro-6-(2-chloro-4-fluorophenyl)-α-1-propyn-1-yl-7-[(1,2,2-trimethylpropyl)amino]- (CA INDEX NAME)



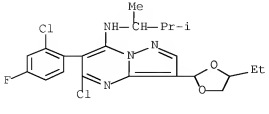
RN 855503-31-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-methanol, 5-chloro-6-(2-chloro-4-fluorophenyl)-7-[(1,2-dimethylpropyl)amino]- (CA INDEX NAME)



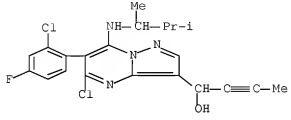
RN 855503-35-4 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-chloro-4-fluorophenyl)-N-(1,2-dimethylpropyl)-3-(methoxymethyl)- (CA INDEX NAME)



RN 855503-39-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-chloro-4-fluorophenyl)-N-(1,2-dimethylpropyl)-3-(4-ethyl-1,3-dioxolan-2-yl)- (CA INDEX NAME)

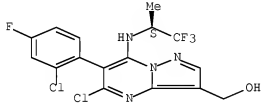


RN 855503-43-4 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-methanol, 5-chloro-6-(2-chloro-4-fluorophenyl)-7-[(1,2-dimethylpropyl)amino]-α-1-propyn-1-yl- (CA INDEX NAME)



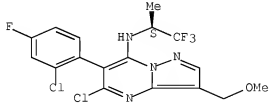
RN 855503-47-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-methanol, 5-chloro-6-(2-chloro-4-fluorophenyl)-7-[[(1S)-2,2,2-trifluoro-1-methylethyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



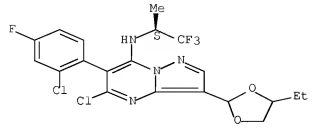
RN 855503-51-4 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-chloro-4-fluorophenyl)-3-(methoxymethyl)-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (CA INDEX NAME)

Absolute stereochemistry.



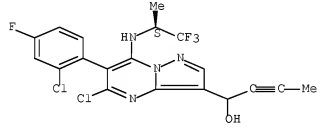
RN 855503-55-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-chloro-4-fluorophenyl)-3-(4-ethyl-1,3-dioxolan-2-yl)-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

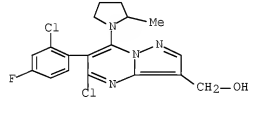


RN 855503-59-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-methanol, 5-chloro-6-(2-chloro-4-fluorophenyl)-α-1-propyn-1-yl-7-[[(1S)-2,2,2-trifluoro-1-methylethyl]amino]- (CA INDEX NAME)

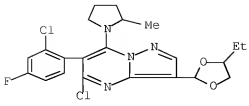
Absolute stereochemistry.



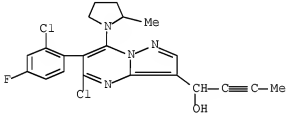
RN 855503-63-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-methanol, 5-chloro-6-(2-chloro-4-fluorophenyl)-7-(2-methyl-1-pyrrolidinyl)- (CA INDEX NAME)



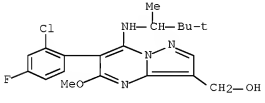
RN 855503-67-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 5-chloro-6-(2-chloro-4-fluorophenyl)-3-(4-ethyl-1,3-dioxolan-2-yl)-7-(2-methyl-1-pyrrolidinyl)- (CA INDEX NAME)



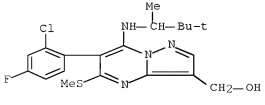
RN 855503-71-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-methanol, 5-chloro-6-(2-chloro-4-fluorophenyl)-7-(2-methyl-1-pyrrolidinyl)-α-1-propyn-1-yl- (CA INDEX NAME)



RN 855503-75-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-methanol, 6-(2-chloro-4-fluorophenyl)-5-methoxy-7-[(1,2,2-trimethylpropyl)amino]- (CA INDEX NAME)



RN 855503-79-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-methanol, 6-(2-chloro-4-fluorophenyl)-5-(methylthio)-7-[(1,2,2-trimethylpropyl)amino]- (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:878151 CAPLUS Full-text
DN 141:366243
TI Preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors
IN Guzi, Timothy J.; Paruch, Kamilus; Dwyer, Michael P.; Doll, Ronald J.; Girijavallabhan, Viyyoor M.; Mallams, Alan; Alvarez, Carmen S.; Keertikar, Kartik M.; Rivera, Jocelyn; Chan, Tin-Yau; Madison, Vincent; Fischmann, Thierry O.; Dillard, Lawrence W.; Tran, Vinh D.; He, Zhen Min; James, Ray Anthony; Park, Haengsoon; Paradkar, Vidyadhar M.; Hobbs, Douglas Walsh
PA Schering Corporation, USA; Pharmacopeia, Inc.
SO U.S. Pat. Appl. Publ., 1044 pp., Cont.-in-part of U.S. Ser. No. 654,546.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 8

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20040209878	A1	20041021	US 2004-776988	20040211
	US 7119200	B2	20061010		
	CN 1880317	A	20061220	CN 2006-10101322	20030903
	US 7161003	B2	20070109	US 2003-654546	20030903
	US 20070037824	A1	20070215		
	AU 2005212409	A1	20050825	AU 2005-212409	20050208
	CA 2555345	A1	20050825	CA 2005-2555345	20050208
	WO 2005077954	A2	20050825	WO 2005-US3859	20050208
	WO 2005077954	A3	20051013		
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	EP 1720882	A2	20061115	EP 2005-722809	20050208
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	CN 1946725	A	20070411	CN 2005-80012293	20050208
	BR 2005007644	A	20070417	BR 2005-7644	20050208
	JP 2007522220	T	20070809	JP 2006-553181	20050208
	US 20060128725	A1	20060615	US 2005-245401	20051006
	US 7196078	B2	20070327		
	ZA 2005001855	A	20060329	ZA 2005-1855	20060117
	US 20070054906	A1	20070308	US 2006-396001	20060331
	US 20070054925	A1	20070308	US 2006-396079	20060331
	IN 2006CN02909	A	20070608	IN 2006-CN2909	20060808
	MX 2006PA09245	A	20061110	MX 2006-PA9245	20060811
	NO 2006004046	A	20061110	NO 2006-4046	20060908
	US 20070072881	A1	20070329	US 2006-542920	20061004
	US 20070225270	A1	20070927	US 2007-710644	20070223
	US 20070281951	A1	20071206	US 2007-788856	20070420
	US 20080050384	A1	20080228	US 2007-788847	20070420
PRAI	US 2002-408027P	P	20020904		
	US 2002-421959P	P	20021029		
	US 2003-654546	A2	20030903		
	CN 2003-824997	A3	20030903		

	US 2004-776988	A	20040211
	WO 2005-US3859	W	20050208
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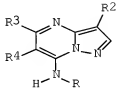
	US 2006-396079	B2	20060331
	US 2007-710644	A2	20070223

OS MARPAT 141:366243

GI



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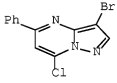
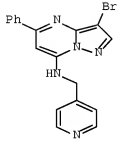


II



III

AB The title compds. [I; R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020 μM and 0.029 μM against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I is claimed. This is a Part I of I-III series.

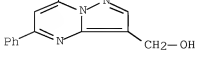
IT 672315-22-9P 672319-26-5P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

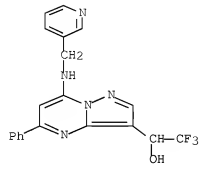
(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

RN 672315-22-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-3-methanol, 5-phenyl-7-[(3-pyridinylmethyl)amino]- (CA INDEX NAME)

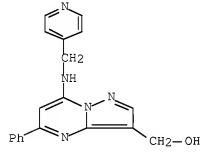


RN 672319-26-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-methanol, 5-phenyl-7-[(3-pyridinylmethyl)amino]-α-(trifluoromethyl)- (CA INDEX NAME)

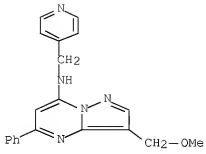


IT 672315-10-5P 672315-11-6P 672318-94-4P
672319-15-2P 672319-17-4P 672319-18-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

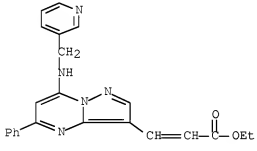
RN 672315-10-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-methanol, 5-phenyl-7-[(4-pyridinylmethyl)amino]- (CA INDEX NAME)



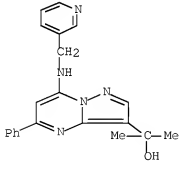
RN 672315-11-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(methoxymethyl)-5-phenyl-N-(4-pyridinylmethyl)- (CA INDEX NAME)



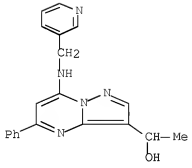
RN 672318-94-4 CAPLUS
CN 2-Propenoic acid, 3-[5-phenyl-7-[(3-pyridinylmethyl)amino]pyrazolo[1,5-a]pyrimidin-3-yl]-, ethyl ester (CA INDEX NAME)



RN 672319-15-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-methanol, α,α-dimethyl-5-phenyl-7-[(3-pyridinylmethyl)amino]- (CA INDEX NAME)

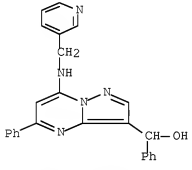


RN 672319-17-4 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-methanol, α-methyl-5-phenyl-7-[(3-pyridinylmethyl)amino]- (CA INDEX NAME)



RN 672319-18-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-3-methanol, α,5-diphenyl-7-[(3-pyridinylmethyl)amino]- (CA INDEX NAME)



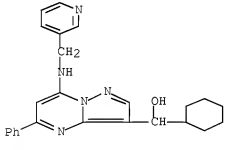
IT 672325-80-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

RN 672325-80-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-3-methanol, α-cyclohexyl-5-phenyl-7-[(3-pyridinylmethyl)amino]- (CA INDEX NAME)

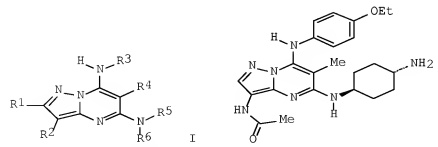


RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:740331 CAPLUS Full-text
DN 141:260763
TI Preparation of pyrazolo[1,5-a]pyrimidines for treating or preventing protein kinase mediated disorders
IN Kataoka, Kenichiro; Suzuki, Naotaka; Kosugi, Tomomi; Imai, Minoru; Makino, Hiroaki; Takakuwa, Mika; Unoki, Gen; Fujino, Aiko; Oue, Yasuhiro; Yamakoshi, Yuko; Sugiura, Satoshi; Mitchell, Dale Robert; Simpson, Donald James; Harris, Clifford John; Le, Joelle
PA Teijin Pharma Limited, Japan
SO PCT Int. Appl., 380 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004076458	A1	20040910	WO 2004-JP2522	20040301
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2004215481	A1	20040910	AU 2004-215481	20040301
	CA 2516824	A1	20040910	CA 2004-2516824	20040301
	EP 1599482	A1	20051130	EP 2004-716064	20040301
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
	BR 2004007834	A	20060214	BR 2004-7834	20040301
	CN 1780840	A	20060531	CN 2004-80011183	20040301
	JP 2006519226	T	20060824	JP 2006-502687	20040301
	IN 2005DN03714	A	20070420	IN 2005-DN3714	20050822
	MX 2005PA08955	A	20060222	MX 2005-PA8955	20050823
	ZA 2005006744	A	20060628	ZA 2005-6744	20050823
	NO 2005003955	A	20050922	NO 2005-3955	20050825
	US 20060189632	A1	20060824	US 2006-547080	20060505
PRAI	GB 2003-4665	A	20030228		
	US 2003-500695P	P	20030908		
	GB 2003-29446	A	20031219		
	WO 2004-JP2522	A	20040301		

OS MARPAT 141:260763
GI



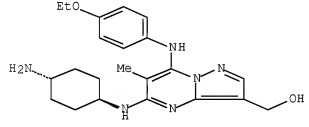
AB The tile compds. [I; R1 = H, alkyl, alkenyl, cycloalkyl, etc.; R2 = H, halo, CN, NO2, CHO, etc.; R3 = alkyl, cycloalkyl, aryl, etc.; R4 = H, halo, alkyl, cycloalkyl, etc.; R5 = alkyl, alkenyl, cycloalkyl, heterocyclyl, etc.; R6 = H, alkyl, cycloalkyl, aryl, etc.; with the provisos] which exhibit excellent

kinase inhibiting activity (particularly MAPKAP-K2 inhibiting activity) and therefore are expected to be useful as therapeutic or prophylactic agents for a protein kinase mediated disorder in which kinase is implicated, such as inflammatory disease, autoimmune disease, destructive bone disorder, cancer and/or tumor growth, were prepared E.g., a multi-step synthesis of II which was active at 1-100 μM against MAPKAP-K2, was given.

IT 754205-83-9P 754205-87-3P 754206-42-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)(preparation of pyrazolo[1,5-a]pyrimidines for treating or preventing protein kinase mediated disorders)

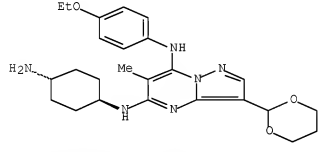
RN 754205-83-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-methanol, 5-[(trans-4-aminocyclohexyl)amino]-7-[(4-ethoxyphenyl)amino]-6-methyl- (CA INDEX NAME)

Relative stereochemistry.



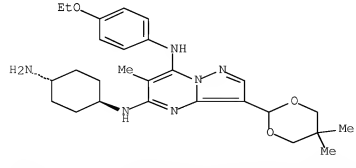
RN 754205-87-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5,7-diamine, N5-(trans-4-aminocyclohexyl)-3-(1,3-dioxan-2-yl)-N7-(4-ethoxyphenyl)-6-methyl- (CA INDEX NAME)

Relative stereochemistry.



RN 754206-42-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5,7-diamine, N5-(trans-4-aminocyclohexyl)-3-(5,5-dimethyl-1,3-dioxan-2-yl)-N7-(4-ethoxyphenyl)-6-methyl- (CA INDEX NAME)

Relative stereochemistry.

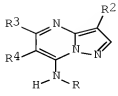


RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:220336 CAPLUS Full-text
DN 140:270873
TI Preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors
IN Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Doll, Ronald J.; Girijavallabhan, Viyyoor Moopil; Mallams, Alan; Alvarez, Carmen S.; Keertikar, Kartik M.; Rivera, Jocelyn; Chan, Tin-yau; Madison, Vincent; Fischmann, Thierry O.; Dillard, Lawrence W.; Tran, Vinh D.; He, Zhen Min; James, Ray Anthony; Park, Haengsoon; Paradkar, Vidyadhar M.; Hobbs, Douglas Walsh
PA Schering Corporation, USA; Pharmacopeia, Inc.
SO PCT Int. Appl., 609 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 8

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004022561	A1	20040318	WO 2003-US27555	20030903
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NI, NO, NZ, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2497440	A1	20040318	CA 2003-2497440	20030903
	AU 2003263071	A1	20040329	AU 2003-263071	20030903
	AU 2003263071	B2	20070315		
	EP 1537116	A1	20050608	EP 2003-794592	20030903
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003014001	A	20050705	BR 2003-14001	20030903
	JP 2006502163	T	20060119	JP 2004-534487	20030903
	CN 1735614	A	20060215	CN 2003-824997	20030903
	CN 1880317	A	20061220	CN 2006-10101322	20030903
	NZ 539165	A	20080328	NZ 2003-539165	20030903
	IN 2005CN00309	A	20070330	IN 2005-CN309	20050303
	MX 2005PA02571	A	20050908	MX 2005-PA2571	20050304
	NO 2005001647	A	20050603	NO 2005-1647	20050404
	ZA 2005001855	A	20060329	ZA 2005-1855	20060117
PRAI	US 2002-408027P	P	20020904		
	US 2002-421959P	P	20021029		
	CN 2003-824997	A3	20030903		
	WO 2003-US27555	W	20030903		

OS MARPAT 140:270873
GI



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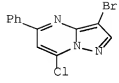
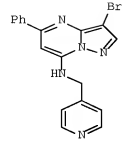


II

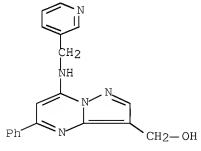


III

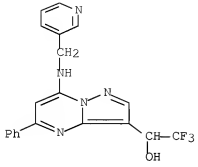
AB The title compds. [I R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020 μM and 0.029 μM against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I is claimed. This is a Part I of I-III series.

IT 672315-22-9P 672319-26-5P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

RN 672315-22-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-methanol, 5-phenyl-7-[(3-pyridinylmethyl)amino]- (CA INDEX NAME)

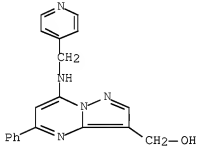


RN 672319-26-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-methanol, 5-phenyl-7-[(3-pyridinylmethyl)amino]-α-(trifluoromethyl)- (CA INDEX NAME)

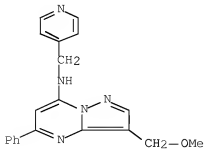


IT 672315-10-5P 672315-11-6P 672318-94-4P
672319-15-2P 672319-17-4P 672319-18-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

RN 672315-10-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-methanol, 5-phenyl-7-[(4-pyridinylmethyl)amino]- (CA INDEX NAME)

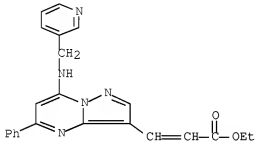


RN 672315-11-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(methoxymethyl)-5-phenyl-N-(4-pyridinylmethyl)- (CA INDEX NAME)



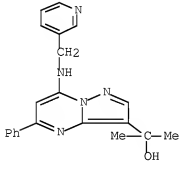
RN 672318-94-4 CAPLUS

CN 2-Propenoic acid, 3-[5-phenyl-7-[(3-pyridinylmethyl)amino]pyrazolo[1,5-a]pyrimidin-3-yl]-, ethyl ester (CA INDEX NAME)



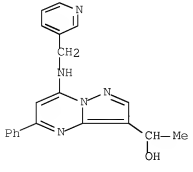
RN 672319-15-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-3-methanol, α,α-dimethyl-5-phenyl-7-[(3-pyridinylmethyl)amino]- (CA INDEX NAME)



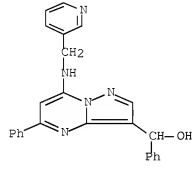
RN 672319-17-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-3-methanol, α-methyl-5-phenyl-7-[(3-pyridinylmethyl)amino]- (CA INDEX NAME)



RN 672319-18-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-3-methanol, α,5-diphenyl-7-[(3-pyridinylmethyl)amino]- (CA INDEX NAME)



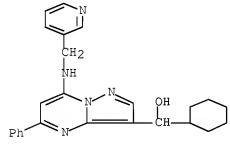
IT 672325-80-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

RN 672325-80-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-3-methanol, α-cyclohexyl-5-phenyl-7-[(3-pyridinylmethyl)amino]- (CA INDEX NAME)

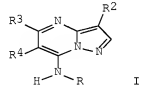


RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:220334 CAPLUS Full-text
DN 140:270871
TI Preparation of pyrazolo[1,5-a]pyrimidines as cyclin dependent kinase inhibitors and anticancer agents
IN Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Doll, Ronald J.; Girijavallabhan, Viyyoor Moopil; Dillard, Lawrence W.; Tran, Vinh D.; He, Zhen Min; James, Ray Anthony; Park, Haengsoon
PA Schering Corporation, USA; Pharmacopeia, Inc.
SO PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004022559	A1	20040318	WO 2003-US27405	20030903
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NI, NO, NZ, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2497444	A1	20040318	CA 2003-2497444	20030903
	AU 2003268357	A1	20040329	AU 2003-268357	20030903
	EP 1534709	A1	20050601	EP 2003-749317	20030903
	EP 1534709	B1	20070613		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2006501260	T	20060112	JP 2004-534424	20030903
	CN 1738821	A	20060222	CN 2003-824448	20030903
	NZ 539162	A	20060728	NZ 2003-539162	20030903
	AT 364608	T	20070715	AT 2003-749317	20030903
	ES 2285164	T3	20071116	ES 2003-749317	20030903
	ZA 2005001851	A	20050908	ZA 2005-1851	20050303
	MX 2005PA02573	A	20050908	MX 2005-PA2573	20050304
	HK 1071570	A1	20070803	HK 2005-104671	20050602
PRAI	US 2002-408030P	P	20020904		
	WO 2003-US27405	W	20030903		

OS MARPAT 140:270871
GI



AB The title compds. [I; R = (un)substituted heteroaryl; R2 = (un)substituted alkyl, alkynyl, aryl, heteroaryl, alkynylalkyl, CF3, heterocyclylalkyl, alkynylalkyl, cycloalkyl, CO2R4, etc., wherein aryl is optionally substituted; R3 = H, halogen, NR5R6, CO2R4, CONR5R6, each (un)substituted alkyl, alkynyl, cycloalkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heterocyclyl, heterocyclylalkyl, or heteroaryl, etc.; R4 = H, halo, alkyl; R5 = H, alkyl; R6 = H, each (un)substituted alkyl, aryl, arylalkyl, cycloalkyl, heterocyclyl,

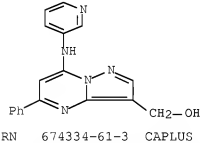
heterocyclylalkyl, heteroaryl, or heteroarylalkyl; or R5 and R6 in the moiety -NR5R6, may be joined together to form an (un)substituted cycloalkyl or heterocyclyl] or pharmaceutically acceptable salts or solvates thereof are prepared In its many embodiments, the present invention also provides methods of preparing such compds., pharmaceutical compns. containing one or more such compds. I, methods of preparing pharmaceutical formulations comprising one or more such compds., and methods of treatment, prevention, inhibition, or amelioration of one or more diseases associated with cyclin dependent kinase using such compds. I or pharmaceutical compns. The disease associated with cyclin dependent kinase is selected from the group consisting of; (1) cancer of the bladder, breast, colon, kidney, liver, lung, small cell lung cancer, esophagus, gall bladder, ovary, pancreas, stomach, cervix, thyroid, prostate, and skin, including squamous cell carcinoma; (2) leukemia, acute lymphocytic leukemia, acute lymphoblastic leukemia, B-cell lymphoma, T-cell lymphoma, Hodgkin's lymphoma, non-Hodgkin's lymphoma, hairy cell lymphoma and Burkitt's lymphoma; (3) acute and chronic myelogenous leukemia, myelodysplastic syndrome and promyelocytic leukemia; (4) fibrosarcoma and rhabdomyosarcoma; (5) astrocytoma, neuroblastoma, glioma and schwannomas; and (6) melanoma, seminoma, teratocarcinoma, osteosarcoma, xeroderma pigmentosum, keratoacanthoma, thyroid follicular cancer and Kaposi's sarcoma.

IT 674334-60-2P 674334-61-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)(preparation of pyrazolo[1,5-a]pyrimidines as cyclin dependent kinase inhibitors and anticancer agents for treating diseases, in particular various cancers, associated with cyclin dependent kinase)

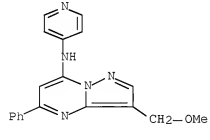
RN 674334-60-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-3-methanol, 5-phenyl-7-(3-pyridinylamino)- (CA INDEX NAME)



RN 674334-61-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(methoxymethyl)-5-phenyl-N-4-pyridinyl- (CA INDEX NAME)

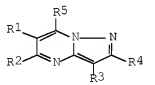


RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1993:213102 CAPLUS Full-text
DN 118:213102
OREF 118:36739a,36742a
TI Preparation of pyrazolo[1,5-a]pyrimidine derivatives antiinflammatory agents
IN Inoue, Makoto; Hashimoto, Kinji; Kuwahara, Toshiko; Sugimoto, Yukio; Uesako, Takuji; Funato, Toshiaki
PA Otsuka Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9218504	A1	19921029	WO 1991-JP1043	19910806
	W: AU, CA, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
	CA 2107479	A1	19921023	CA 1991-2107479	19910806
	CA 2107479	C	19971216		
	AU 9182958	A	19921117	AU 1991-82958	19910806
	AU 651986	B2	19940811		
	EP 591528	A1	19940413	EP 1991-913666	19910806
	EP 591528	B1	19981223		
	R: AT, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE				
	AT 174917	T	19990115	AT 1991-913666	19910806
	ES 2126573	T3	19990401	ES 1991-913666	19910806
	JP 05070353	A	19930323	JP 1992-55370	19920313
	US 5688949	A	19971118	US 1993-133086	19931007
PRAI	JP 1991-90707	A	19910422		
	WO 1991-JP1043	A	19910806		

OS MARPAT 118:213102
GI



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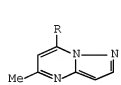
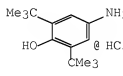
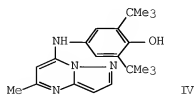


II


III


IV

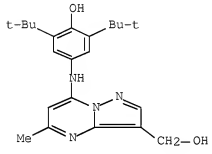
AB The title compds. [I; R1-R4 = H, CO2H, Ph, alkoxycarbonyl, alkyl, cycloalkyl, etc.; R1R2 = alkylene; R5 = SR6, NR7R8 (wherein R6 = pyridyl, Ph or substituted Ph; R7, R8 = H, Ph or substituted Ph, etc.)] are prepared A suspension of Cl compound II (R = Cl) 3.5, aniline salt III 6.0, and PhNEt2

6.0 in MePh was heated at 120° to give 4.7 g IV, which showed IC50 of 3 + 10-7M against cyclooxygenase. IV showed 65.0% inhibition against cyclooxygenase at 3 + 10-7M, vs. 12.4% with indomethacin.

IT 137739-61-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antiinflammatory agent)

RN 137739-61-8 CAPLUS

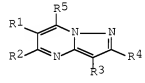
CN Pyrazolo[1,5-a]pyrimidine-3-methanol, 7-[[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]amino]-5-methyl- (CA INDEX NAME)



L10 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1992:6580 CAPLUS Full-text
DN 116:6580
OREF 116:1307a,1310a
TI Preparation of pyrazolo[1,5-a]pyrimidine derivatives as drugs
IN Inoue, Makoto; Hashimoto, Kinji
PA Otsuka Pharmaceutical Factory, Inc., Japan
SO Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 03204877	A	19910906	JP 1990-289769	19901025
	JP 2585462	B2	19970226		
PRAI	JP 1989-277566	A1	19891025		

OS MARPAT 116:6580
GI

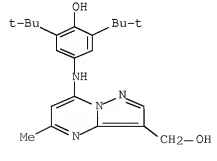


I

AB The title compds. [I; R1-R4 = H, CO2H, alkoxycarbonyl, Ph, (HO-, HO2C-, or alkoxycarbonyl-substituted)alkyl, cycloalkyl; or R1R2 = alkylene; R5 = SR6, NR7R8; R6 = pyridyl, (1-3 HO- or alkyl-substituted) Ph; R7, R8 = H, (1-3 HO-, alkyl-, alkoxycarbonyl-, or HO2C-substituted) Ph; or NR7R8 = 1-pyrrolidinyl, 2-oxo-1-pyrrolidinyl, (un)substituted 1-piperazinyl], useful as antiinflammatories, antirheumatics, antiasthmatics, allergy inhibitors, antipyretics, and analgesics and for improvement of ischemia (no data), are prepared Thus, a suspension of 1.0 g 7-chloropyrazolo[1,5- pyrimidine, 1.8 g 3,5-di-tert-butyl-4-hydroxyaniline-HCl, and 2.3 mL PhNEt2 in PhMe was heated 30 min at 120° to give 890 mg I (R1-R4 = H, R5 = 3,5-di-tert-butyl-4-hydroxyphenylamino). A total of 48 I were prepared

IT 137739-61-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as drug)

RN 137739-61-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-methanol, 7-[[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]amino]-5-methyl- (CA INDEX NAME)



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L1              STR
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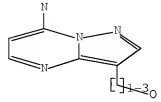

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Structure attributes must be viewed using STN Express query preparation.
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L5 HAS NO ANSWERS
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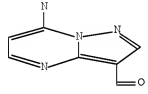

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Structure attributes must be viewed using STN Express query preparation.

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     FILE 'REGISTRY' ENTERED AT 20:50:28 ON 15 MAY 2008
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L2              QUE L1
L3           50 S L2
L4         1444 S L2 FUL
L5              STRUCTURE UPLOADED
L6              QUE L5
L7           50 S L6 SAM SUB=L4
L8         1368 S L6 FUL SUB=L4
L9           76 S L4 NOT L8

     FILE 'CAPLUS' ENTERED AT 20:53:26 ON 15 MAY 2008
L10          17 S L9


COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                  94.09     316.81

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)      SINCE FILE      TOTAL
                                                     ENTRY    SESSION
CA SUBSCRIBER PRICE                                 -13.60     -13.60

STN INTERNATIONAL LOGOFF AT 20:55:05 ON 15 MAY 2008
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